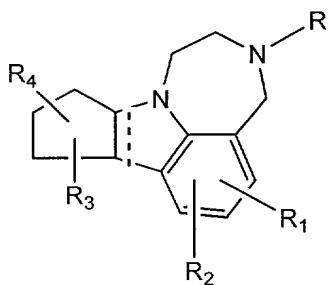


What is Claimed:

1. A process for the synthesis of compounds of formula I:



5

I

wherein

R is hydrogen;

R₁, R₂ are each, independently, hydrogen, alkyl of 1-6 carbon atoms, alkoxy of 1-6 carbon atoms, halogen, fluorinated alkyl of from 1 to 6 carbon atoms, -CN, -NH-SO₂-alkyl of 1-6 carbon atoms, -SO₂-NH-alkyl of 1-6 carbon atoms, alkyl amide of 1-6 carbon atoms, amino, alkylamino of 1-6 carbon atoms, dialkylamino of 1-6 carbon atoms per alkyl moiety, fluorinated alkoxy of 1-6 carbon atoms, acyl of 2-7 carbon atoms, or aroyl, preferably phenyl or thiophenyl;

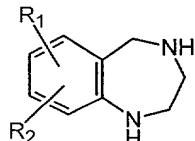
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R₃, R₄ are each independently hydrogen, C₁-C₆ alkyl, cycloalkyl of from 3 to 7 carbon atoms or -CH₂-cycloalkyl of from 3 to 7 carbon atoms;

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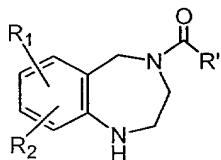
wherein the dashed line indicates an optional double bond;
the process comprising the steps of:

a) acylating a benzodiazepine compound of the formula:



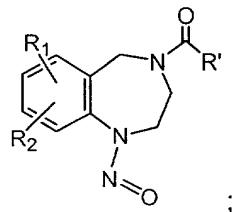
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to give an acylated benzodiazepine of the formula:

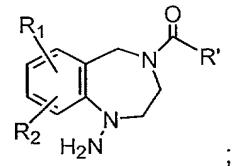


wherein R' represents represents alkyl of from 1 to 10 carbon atoms, preferably 1 to 6 carbon atoms, or a benzyl or naphthyl group;

5 b) reacting the acylated benzodiazepine of step a) with a nitrosating agent to provide an acylated nitroso benzodiazepine compound of the formula:

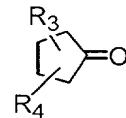


c) reducing the acylated nitroso benzodiazepine compound of step b) to yield an acylated 1-aminobenzodiazepine compound of the formula

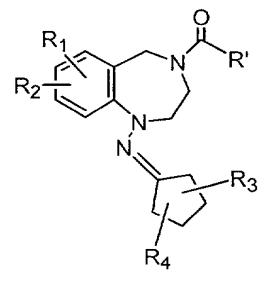


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d) allowing the acylated 1-aminobenzodiazepine compound of step c) to react with a cyclopentanone compound of the formula:

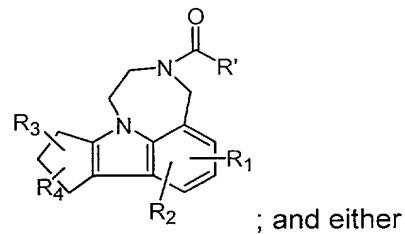


to provide a cyclopentylideneamino benzodiazepine compound of the formula:



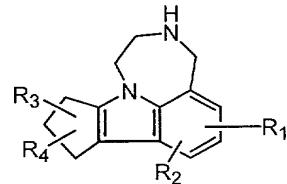
15

e) reacting the cyclopentylideneamino benzodiazepine compound of step d) to provide an acylated compound of the formula:



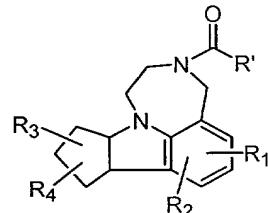
; and either

f) deacylating the acylated compound of step e) to provide a compound of the formula:



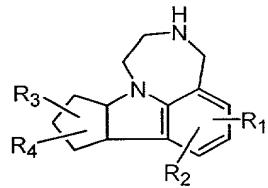
5 which may optionally be reduced; or

g) reducing the acylated compound of step e) to provide a compound of the formula:

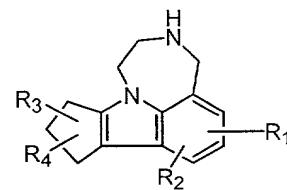


10 and

h) deacylating the compound of step g) to provide a compound of the formula:

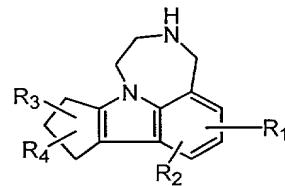


15 2) A process of Claim 1 for the production of a compound of the formula:

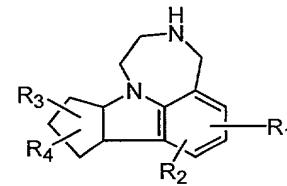


comprising the steps a) through f) of Claim 1, wherein R₁, R₂, R₃, and R₄ are as defined in Claim 1.

5 3) The process of Claim 2 with an additional step of reducing the compound of the formula:

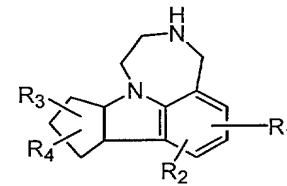


to produce a compound of the formula:

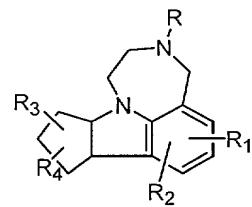


10 wherein R₁, R₂, R₃, and R₄ are as defined in Claim 1.

4) A process of Claim 3 further comprising the step of alkylating the compound of the formula:

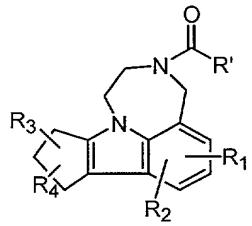


15 wherein R₁, R₂, R₃, and R₄ are as defined in Claim 1, to provide an alkylated compound of the formula:

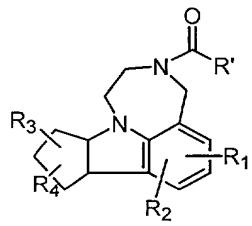


wherein R is an alkyl group of from 1 to 6 carbon atoms.

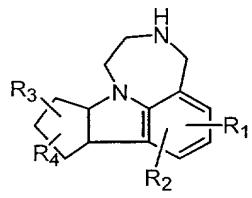
5) A process according to Claim 1 comprising steps a) through e) of Claim 1
 5 to provide an acylated compound of the formula:



followed by reduction of the acylated compound to provide a reduced acylated compound of the formula:

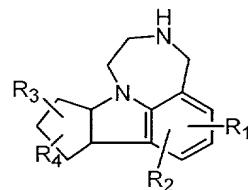


10 and deacylation of the reduced acylated compound to provide a compound of the formula:

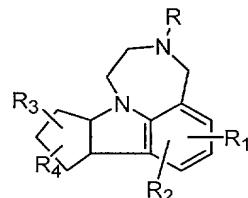


wherein R₁, R₂, R₃, and R₄ are as defined in Claim 1.

15 6) A process of Claim 5 further comprising the step of alkylating the compound of the formula:

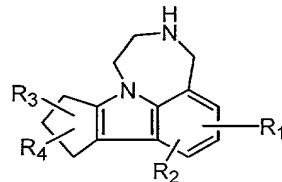


wherein R₁, R₂, R₃, and R₄ are as defined in Claim 1, to provide an alkylated compound of the formula:



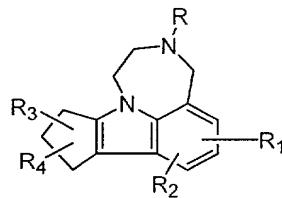
5 wherein R is an alkyl group of from 1 to 6 carbon atoms and R₁, R₂, R₃, and R₄ are as defined in Claim 1.

7) A process of Claim 1 comprising steps a) through f) of Claim 1 to produce a compound of the formula:



10

wherein R₁, R₂, R₃, and R₄ are as defined in Claim 1, and further comprising the step of alkylating the compound to produce an alkylated compound of the formula:



wherein R is an alkyl group of from 1 to 6 carbon atoms and R₁, R₂, R₃, and R₄ are as defined in Claim 1.

15 8) A process of Claim 1 wherein R is hydrogen and R₁, R₂, R₃, and R₄ are as defined in Claim 1.

9) A process of Claim 1 wherein R, R₁ and R₃ are hydrogen and R₂ and R₄ are as defined in Claim 1.

10) A process of Claim 1 wherein R, R₁, R₂, R₃, and R₄ are each hydrogen.